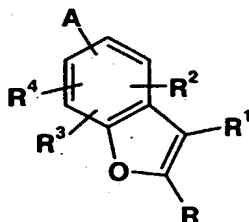


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WE CLAIM:

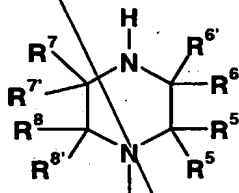


1. The compounds of Formula I:

I

where:

A is homopiperazine or a piperazine of formula:



(i)

R is hydrogen, halo, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>1</sup> is hydrogen, halo, trifluoromethyl, phenyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, -C(O)NHR<sup>9</sup>, or C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy.

R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

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Sub A<sup>2</sup> 5 R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen; or R<sup>5</sup> and R<sup>5'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

6 R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen; or R<sup>6</sup> and R<sup>6'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

10 R<sup>7'</sup> is hydrogen or methyl, provided that R<sup>7'</sup> may be methyl only when R<sup>7</sup> is other than hydrogen; or R<sup>7</sup> and R<sup>7'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

15 R<sup>8'</sup> is hydrogen or methyl, provided that R<sup>8'</sup> may be methyl only when R<sup>8</sup> is other than hydrogen; or R<sup>8</sup> and R<sup>8'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

20 R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, or C<sub>1</sub>-C<sub>4</sub> alkyl, neither R<sup>6</sup> nor R<sup>7</sup> may be selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl unless:

1. R is halo;
2. R<sup>1</sup> is halo or phenyl
3. R<sup>6'</sup> or R<sup>7'</sup> is methyl; or
- 30 4. R<sup>5</sup> or R<sup>8</sup> are other than hydrogen;

b) when R, R<sup>1</sup>, and two of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are hydrogen and one of R<sup>2</sup>, R<sup>3</sup>, or R<sup>4</sup> is selected from the group

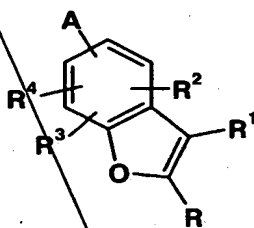
-109-

consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of  $R^5$ ,  $R^6$ ,  $R^7$ , or  $R^8$  must be other than hydrogen;

c) when  $R^1$  is bromo or  $R$  is methyl, at least one of  $R^2$ ,  $R^3$ , and  $R^4$  must be other than hydrogen; and

d) no more than two of  $R^5$ ,  $R^6$ ,  $R^7$ , and  $R^8$  may be other than hydrogen.

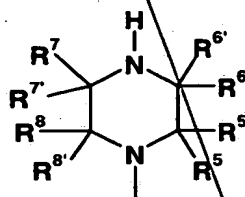
2. A pharmaceutical formulation which comprises, in association with a pharmaceutically acceptable carrier, diluent or excipient, a compound of Formula I:



I

where:

A is homopiperazine or a piperazine of formula:



(i)

$R$  is hydrogen, halo, trifluoromethyl or  $C_1$ - $C_6$  alkyl;

$R^1$  is hydrogen, halo, trifluoromethyl, phenyl, or  $C_1$ - $C_6$  alkyl;

$R^2$ ,  $R^3$ , and  $R^4$  are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano,

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C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, -C(O)NHR<sup>9</sup>, or C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy.

R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen; or R<sup>5</sup> and R<sup>5'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen; or R<sup>6</sup> and R<sup>6'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>7'</sup> is hydrogen or methyl, provided that R<sup>7'</sup> may be methyl only when R<sup>7</sup> is other than hydrogen; or R<sup>7</sup> and R<sup>7'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>8'</sup> is hydrogen or methyl, provided that R<sup>8'</sup> may be methyl only when R<sup>8</sup> is other than hydrogen; or R<sup>8</sup> and R<sup>8'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, or C<sub>1</sub>-C<sub>4</sub> alkyl, neither R<sup>6</sup> nor R<sup>7</sup> may be selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl unless:

1. R is halo;

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2. R<sup>1</sup> is halo or phenyl

3. R<sup>6'</sup> or R<sup>7'</sup> is methyl; or

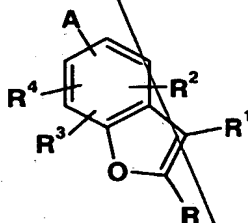
4. R<sup>5</sup> or R<sup>8</sup> are other than hydrogen;

b) when R, R<sup>1</sup>, and two of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are hydrogen and one of R<sup>2</sup>, R<sup>3</sup>, or R<sup>4</sup> is selected from the group consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, or R<sup>8</sup> must be other than hydrogen;

c) when R<sup>1</sup> is bromo or R is methyl, at least one of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> must be other than hydrogen; and

d) no more than two of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> may be other than hydrogen.

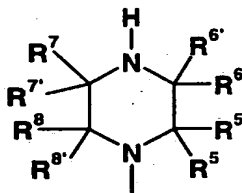
3. A method for increasing activation of the 5-HT<sub>2C</sub> receptor in mammals, comprising administering to a mammal in need of such activation a pharmaceutically effective amount of a compound of Formula I:



I

20 where:

A is homopiperazine or a piperazine of formula:



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(i)

where:

R is hydrogen, halo, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub> alkyl;

5 R<sup>1</sup> is hydrogen, halo, trifluoromethyl, phenyl, or C<sub>1</sub>-C<sub>6</sub>  
alkyl;

R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, -C(O)NHR<sup>9</sup>, or C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy.

R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

15 R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen; or R<sup>5</sup> and R<sup>5'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

20 R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen; or R<sup>6</sup> and R<sup>6'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>7'</sup> is hydrogen or methyl, provided that R<sup>7'</sup> may be methyl only when R<sup>7</sup> is other than hydrogen; or R<sup>7</sup> and R<sup>7'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>8'</sup> is hydrogen or methyl, provided that R<sup>8'</sup> may be methyl only when R<sup>8</sup> is other than hydrogen; or R<sup>8</sup> and R<sup>8'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

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or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

5 a) when R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, or C<sub>1</sub>-C<sub>4</sub> alkyl, neither R<sup>6</sup> nor R<sup>7</sup> may be selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl unless:

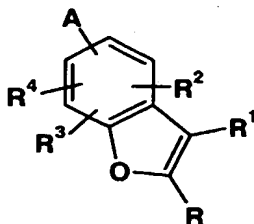
- 10 1. R is halo;  
2. R<sup>1</sup> is halo or phenyl  
3. R<sup>6'</sup> or R<sup>7'</sup> is methyl; or  
4. R<sup>5</sup> or R<sup>8</sup> are other than hydrogen;

15 b) when R, R<sup>1</sup>, and two of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are hydrogen and one of R<sup>2</sup>, R<sup>3</sup>, or R<sup>4</sup> is selected from the group consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, or R<sup>8</sup> must be other than hydrogen;

c) when R<sup>1</sup> is bromo or R is methyl, at least one of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> must be other than hydrogen; and

20 d) no more than two of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> may be other than hydrogen.

4. A method for the treatment of obesity in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:

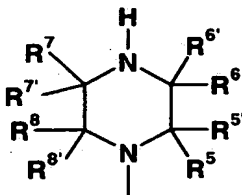


I

where:

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A is homopiperazine or a piperazine of formula:



(i)

5 where:

R is hydrogen, halo, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>1</sup> is hydrogen, halo, trifluoromethyl, phenyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

10 R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, -C(O)NHR<sup>9</sup>, or C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy.

15 R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

20 R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen; or R<sup>5</sup> and R<sup>5'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen; or R<sup>6</sup> and R<sup>6'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

25 R<sup>7'</sup> is hydrogen or methyl, provided that R<sup>7'</sup> may be methyl only when R<sup>7</sup> is other than hydrogen; or R<sup>7</sup> and R<sup>7'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;



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R<sup>8'</sup> is hydrogen or methyl, provided that R<sup>8'</sup> may be methyl only when R<sup>8</sup> is other than hydrogen; or R<sup>8</sup> and R<sup>8'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

5 R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

10 a) when R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, or C<sub>1</sub>-C<sub>4</sub> alkyl, neither R<sup>6</sup> nor R<sup>7</sup> may be selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl unless:

- 15
1. R is halo;
  2. R<sup>1</sup> is halo or phenyl
  3. R<sup>6'</sup> or R<sup>7'</sup> is methyl; or
  4. R<sup>5</sup> or R<sup>8</sup> are other than hydrogen;

20 b) when R, R<sup>1</sup>, and two of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are hydrogen and one of R<sup>2</sup>, R<sup>3</sup>, or R<sup>4</sup> is selected from the group consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, or R<sup>8</sup> must be other than hydrogen;

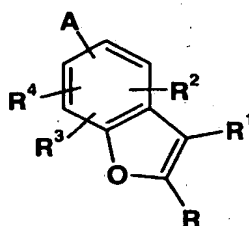
c) when R<sup>1</sup> is bromo or R is methyl, at least one of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> must be other than hydrogen; and

25 d) no more than two of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> may be other than hydrogen.

5. A method for the treatment of depression in mammals, comprising administering to a mammal in need of

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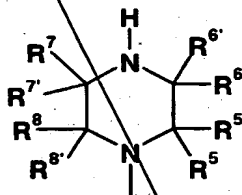
such treatment an effective amount of a compound of  
Formula I:



I

where:

A is homopiperazine or a piperazine of formula:



(i)

where:

R is hydrogen, halo, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>1</sup> is hydrogen, halo, trifluoromethyl, phenyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently hydrogen, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkyl, -C(O)NHR<sup>9</sup>, or C<sub>1</sub>-C<sub>6</sub> alkyl substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkoxy and hydroxy.

R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl;

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R<sup>5'</sup> is hydrogen or methyl, provided that R<sup>5'</sup> may be methyl only when R<sup>5</sup> is other than hydrogen; or R<sup>5</sup> and R<sup>5'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

5 R<sup>6'</sup> is hydrogen or methyl, provided that R<sup>6'</sup> may be methyl only when R<sup>6</sup> is other than hydrogen; or R<sup>6</sup> and R<sup>6'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

10 R<sup>7'</sup> is hydrogen or methyl, provided that R<sup>7'</sup> may be methyl only when R<sup>7</sup> is other than hydrogen; or R<sup>7</sup> and R<sup>7'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

15 R<sup>8'</sup> is hydrogen or methyl, provided that R<sup>8'</sup> may be methyl only when R<sup>8</sup> is other than hydrogen; or R<sup>8</sup> and R<sup>8'</sup>, together with the carbon atom to which they are attached, form a cyclopropyl moiety;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

20 or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are all selected from the group consisting of hydrogen, trifluoromethyl, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, or C<sub>1</sub>-C<sub>4</sub> alkyl, neither R<sup>6</sup> nor R<sup>7</sup> may be selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl unless:

1. R is halo;
2. R<sup>1</sup> is halo or phenyl
3. R<sup>6'</sup> or R<sup>7'</sup> is methyl; or
- 30 4. R<sup>5</sup> or R<sup>8</sup> are other than hydrogen;

b) when R, R<sup>1</sup>, and two of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are hydrogen and one of R<sup>2</sup>, R<sup>3</sup>, or R<sup>4</sup> is selected from the group

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consisting of fluoro, chloro, bromo, methyl, or methoxy, at least one of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, or R<sup>8</sup> must be other than hydrogen;

c) when R<sup>1</sup> is bromo or R is methyl, at least one of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> must be other than hydrogen; and

5            d) no more than two of  $R^5$ ,  $R^6$ ,  $R^7$ , and  $R^8$  may be other than hydrogen.

6. A method of any of Claims 3, 4, or 5 where the mammal is human.